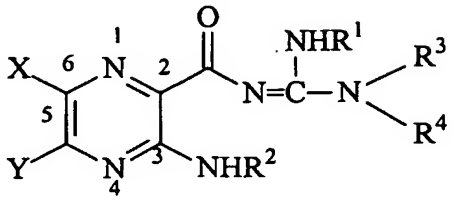
Claims:

1. A compound represented by formula (I):



(I)

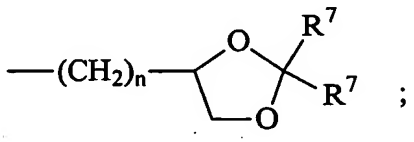
wherein

X is hydrogen, halogen, trifluoromethyl, lower alkyl, unsubstituted or substituted phenyl, lower alkyl-thio, phenyl-lower alkyl-thio, lower alkyl-sulfonyl, or phenyl-lower alkyl-sulfonyl;

Y is hydrogen, hydroxyl, mercapto, lower alkoxy, lower alkyl-thio, halogen, lower alkyl, unsubstituted or substituted mononuclear aryl, or $-N(R^2)_2$;

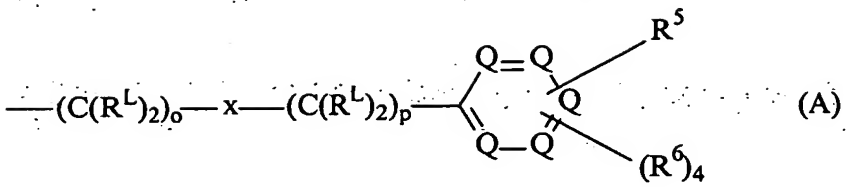
R^1 is hydrogen or lower alkyl;

each R^2 is, independently, $-R^7$, $-(CH_2)_m-OR^8$, $-(CH_2)_m-NR^7R^{10}$, $-(CH_2)_n(CHOR^8)(CHOR^8)_n-CH_2OR^8$, $-(CH_2CH_2O)_m-R^8$, $-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$, $-(CH_2)_n-C(=O)NR^7R^{10}$, $-(CH_2)_n-Z_g-R^7$,$-(CH_2)_m-NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$, $-(CH_2)_n-CO_2R^7$, or



;

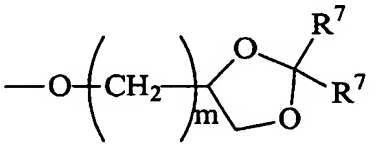
R^3 and R^4 are each, independently, hydrogen, a group represented by formula (A), lower alkyl, hydroxy lower alkyl, phenyl, phenyl-lower alkyl, (halophenyl)-lower alkyl, lower-(alkylphenylalkyl), lower (alkoxyphenyl)-lower alkyl, naphthyl-lower alkyl, or pyridyl-lower alkyl, with the proviso that at least one of R^3 and R^4 is a group represented by formula (A):



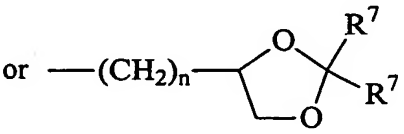
(A)

wherein

each R^L is, independently, $-R^7$, $-(CH_2)_n-OR^8$, $-O-(CH_2)_m-OR^8$, $-(CH_2)_n-NR^7R^{10}$, $-O-(CH_2)_m-NR^7R^{10}$, $-(CH_2)_n(CHOR^8)(CHOR^8)_n-CH_2OR^8$, $-O-(CH_2)_m(CHOR^8)(CHOR^8)_n-CH_2OR^8$, $-(CH_2CH_2O)_m-R^8$, $-O-(CH_2CH_2O)_m-R^8$, $-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$, $-O-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$, $-(CH_2)_n-C(=O)NR^7R^{10}$, $-O-(CH_2)_m-C(=O)NR^7R^{10}$, $-(CH_2)_n-(Z)_g-R^7$, $-O-(CH_2)_m-(Z)_g-R^7$, $-(CH_2)_n-NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$, $-O-(CH_2)_m-NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$, $-(CH_2)_n-CO_2R^7$, $-O-(CH_2)_m-CO_2R^7$, $-OSO_3H$, -O-glucuronide, -O-glucose,



, or



;

each o is, independently, an integer from 0 to 10;

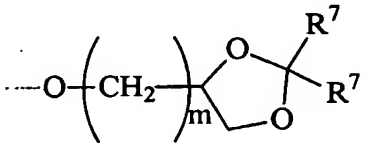
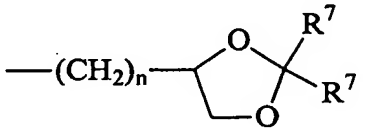
each p is an integer from 0 to 10;

with the proviso that the sum of o and p in each contiguous chain is from 1 to 10;

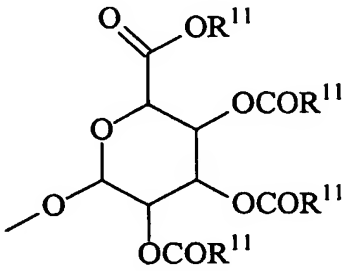
each x is, independently, O, NR^{10}, C(=O), CHOH, $C(=N-R^{10})$, $CHNR^7R^{10}$, or represents a single bond;

each R^5 is, independently, $-(CH_2)_m-OR^8$, $-O-(CH_2)_m-OR^8$, $-(CH_2)_n-NR^7R^{10}$, $-O-(CH_2)_m-NR^7R^{10}$, $-(CH_2)_n(CHOR^8)(CHOR^8)_n-CH_2OR^8$, $-O-(CH_2)_m(CHOR^8)(CHOR^8)_n-CH_2OR^8$, $-(CH_2CH_2O)_m-R^8$, $-O-(CH_2CH_2O)_m-R^8$, $-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$, $-O-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$, $-(CH_2)_n-C(=O)NR^7R^{10}$,

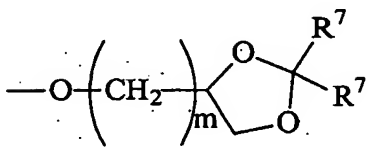
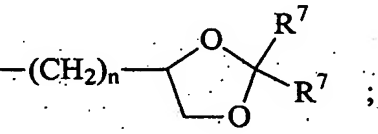
$-O-(CH_2)_m-C(=O)NR^7R^{10}$, $-(CH_2)_n-(Z)_g-R^7$, $-O-(CH_2)_m-(Z)_g-R^7$,
$-(CH_2)_n-NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$,
$-O-(CH_2)_m-NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$,
$-(CH_2)_n-CO_2R^7$, $-O-(CH_2)_m-CO_2R^7$, $-OSO_3H$, -O-glucuronide, -O-glucose,


,

,

or


;

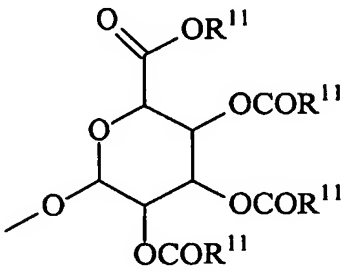
each R^6 is, independently, $-R^7$, $-OR^{11}$, $-N(R^7)_2$, $-(CH_2)_m-OR^8$,
$-O-(CH_2)_m-OR^8$, $-(CH_2)_n-NR^7R^{10}$, $-O-(CH_2)_m-NR^7R^{10}$,
$-(CH_2)_n(CHOR^8)(CHOR^8)_n-CH_2OR^8$, $-O-(CH_2)_m(CHOR^8)(CHOR^8)_n-CH_2OR^8$,
$-(CH_2CH_2O)_m-R^8$, $-O-(CH_2CH_2O)_m-R^8$, $-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$,
$-O-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$, $-(CH_2)_n-C(=O)NR^7R^{10}$,
$-O-(CH_2)_m-C(=O)NR^7R^{10}$, $-(CH_2)_n-(Z)_g-R^7$, $-O-(CH_2)_m-(Z)_g-R^7$,
$-(CH_2)_n-NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$,
$-O-(CH_2)_m-NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$,
$-(CH_2)_n-CO_2R^7$, $-O-(CH_2)_m-CO_2R^7$, $-OSO_3H$, -O-glucuronide, -O-glucose,


, or

;

wherein when two R^6 are $-OR^{11}$ and are located adjacent to each other on a phenyl ring, the alkyl moieties of the two R^6 may be bonded together to form a methylenedioxy group;

each R^7 is, independently, hydrogen or lower alkyl;

each R^8 is, independently, hydrogen, lower alkyl, -C(=O)-R^{11}, glucuronide, 2-tetrahydropyranyl, or



;

each R^9 is, independently, -CO_2R^7, -$CON(R^7)_2$, -SO_2CH_3, or -C(=O)R^7;

each R^{10} is, independently, -H, -SO_2CH_3, -CO_2R^7, -C(=O)NR^7R^9, -C(=O)R^7, or -CH_2-$(CHOH)_n$-CH_2OH;

each Z is, independently, CHOH, C(=O), $CHNR^7R^{10}$, $C=NR^{10}$, or NR^{10};

each R^{11} is, independently, lower alkyl;

each g is, independently, an integer from 1 to 6;

each m is, independently, an integer from 1 to 7;

each n is, independently, an integer from 0 to 7;

each Q is, independently, C-R^5, C-R^6, or a nitrogen atom, wherein at most three Q in a ring are nitrogen atoms;

or a pharmaceutically acceptable salt thereof, and

inclusive of all enantiomers, diastereomers, and racemic mixtures thereof.

2. The compound of Claim 1, wherein Y is -NH_2.

3. The compound of Claim 2, wherein R^2 is hydrogen.

4. The compound of Claim 3, wherein R^1 is hydrogen.

5. The compound of Claim 4, wherein X is chlorine.

6. The compound of Claim 5, wherein R^3 is hydrogen.

7. The compound of Claim 6, wherein each R^L is hydrogen.

8. The compound of Claim 7, wherein o is 4.

9. The compound of Claim 8, wherein p is 0.

10. The compound of Claim 9, wherein x represents a single bond.

11. The compound of Claim 10, wherein each R^6 is hydrogen.

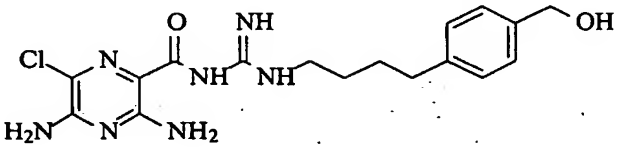
12. The compound of Claim 11, wherein at most one Q is a nitrogen atom.

13. The compound of Claim 12, wherein no Q is a nitrogen atom.

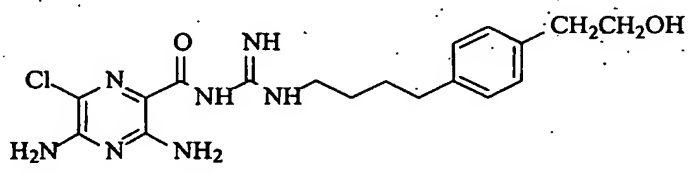
14. The compound of Claim 13, wherein R^5 is $-(CH_2)_m$-OR^8.

15. The compound of Claim 14, wherein R^5 is para-$(CH_2)_4$-OH.

16. The compound of Claim 14, which is represented by the formula:



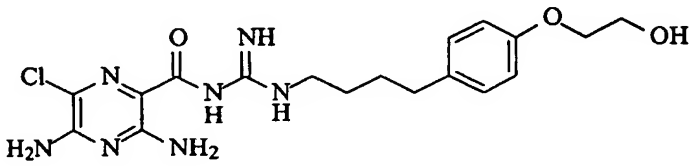
17. The compound of Claim 14, which is represented by the formula:



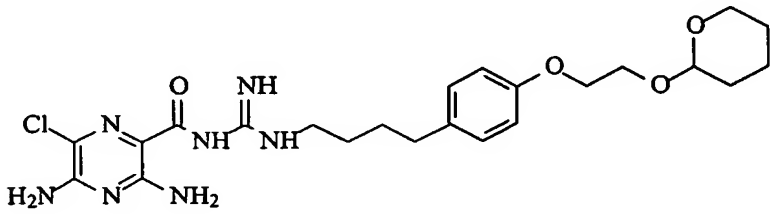
18. The compound of Claim 13, wherein R^5 is $-O-(CH_2)_m-OR^8$.

19. The compound of Claim 18, wherein R^5 is para–$O-(CH_2)_4-OH$

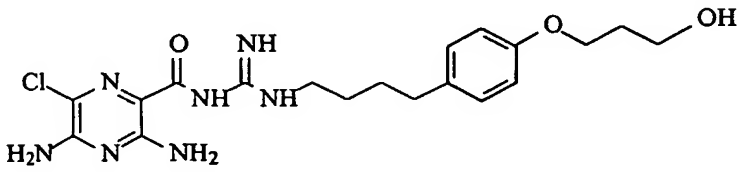
20. The compound of Claim 18, which is represented by the formula:



21. The compound of Claim 18, which is represented by the formula:



22. The compound of Claim 18, which is represented by the formula:



23. The compound of Claim 13, wherein R^5 is $-(CH_2)_n-NR^7R^{10}$.

24. The compound of Claim 23, wherein R^5 is para-$NHSO_2CH_3$.

25. The compound of Claim 23, wherein R^5 is para-$CH_2NH(C=O)-(OCH_3)_3$.

26. The compound of Claim 23, wherein R^5 is para-$NH(C=O)CH_3$.

27. The compound of Claim 23, wherein R^5 is para-CH_2NH_2.

28. The compound of Claim 23, wherein R^5 is para-NH-$CO_2C_2H_5$.

29. The compound of Claim 23, wherein R^5 is para-$CH_2NH(C=O)CH_3$.

30. The compound of Claim 23, wherein R^5 is para-$CH_2NHCO_2CH_3$.

31. The compound of Claim 23, wherein R^5 is para-$CH_2NHSO_2CH_3$.

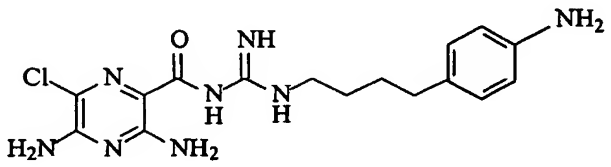
32. The compound of Claim 23, wherein R^5 is para-$(CH_2)_4$-$NH(C=O)O(CH_3)_3$.

33. The compound of Claim 23, wherein R^5 is para-$(CH_2)_4$-NH_2.

34. The compound of Claim 23, wherein R^5 is para-$(CH_2)_3$-$NH(C=O)O(CH_3)_3$.

35. The compound of Claim 23, wherein R^5 is para-$(CH_2)_3$-NH_2.

36. The compound of Claim 23, which is represented by the formula:



37 The compound of Claim 13, wherein R^5 is $-O-(CH_2)_m-NR^7R^{10}$.

38. The compound of Claim 37, wherein R^5 is para-$OCH_2CH_2NHCO_2(CH_3)_3$.

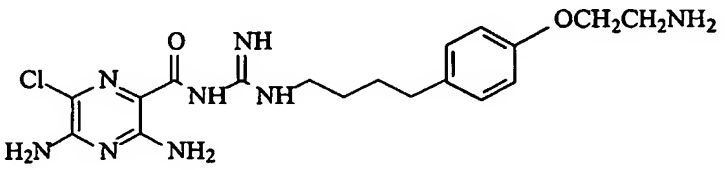
39. The compound of Claim 37, wherein R^5 is para-$OCH_2CH_2NHCO_2C_2H_5$.

40. The compound of Claim 37, wherein R^5 is para-O-$(CH_2)_3$-NH-CO_2-$(CH_3)_3$.

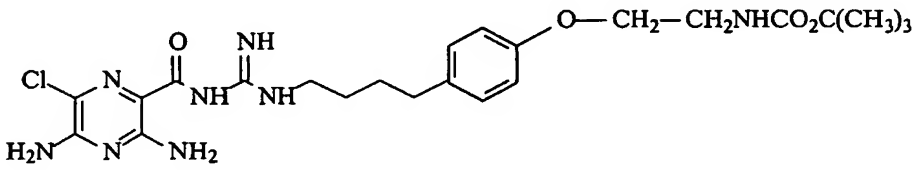
41. The compound of Claim 37, wherein R^5 is para-$O(CH_2)_3$-NH_2.

42. The compound of Claim 37, wherein R^5 is para-$OCH_2CH_2NHSO_2CH_3$.

43. The compound of Claim 37, which is represented by the formula:



43. The compound of Claim 37, which is represented by the formula:



45. The compound of Claim 13, wherein R^5 is -$(CH_2)_n(CHOR^8)(CHOR^8)_n$-$CH_2OR^8$.

46. The compound of Claim 13, wherein R^5 is-O-$(CH_2)_m(CHOR^8)(CHOR^8)_n$-$CH_2OR^8$.

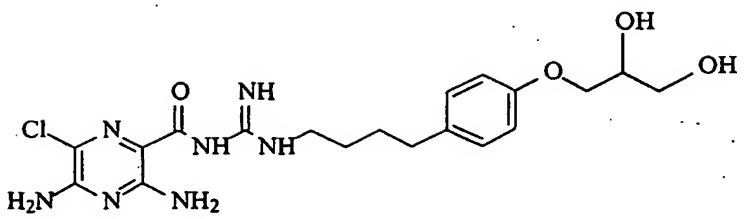
47. The compound of Claim 46, wherein R^5 is para-$OCH_2CHOHCH_2O$-glucuronide.

48. The compound of Claim 46, wherein R^5 is para-$OCH_2CH_2CHOHCH_2OH$.

49. The compound of Claim 46, wherein R^5 is para-OCH_2-(α-$CHOH)_2CH_2OH$.

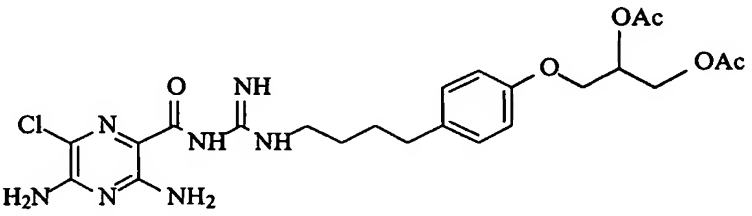
50. The compound of Claim 46, wherein R^5 is para-OCH_2-$(CHOH)_2CH_2OH$.

51. The compound of Claim 46, which is represented by the formula:

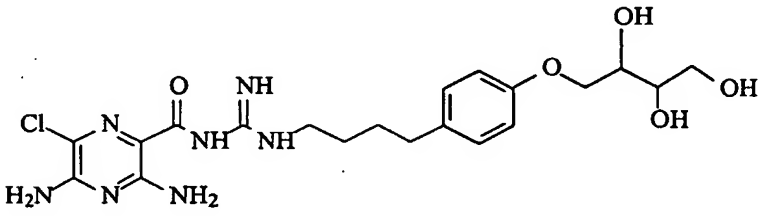


52. The compound of Claim 51, which is the methanesulfonic acid salt.

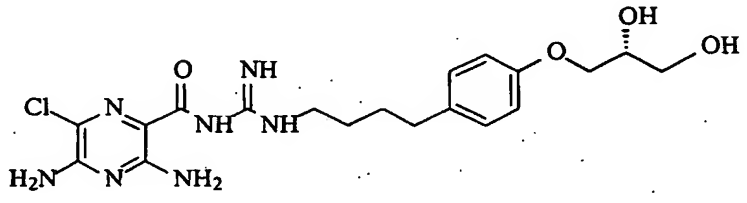
53. The compound of Claim 46, which is represented by the formula:



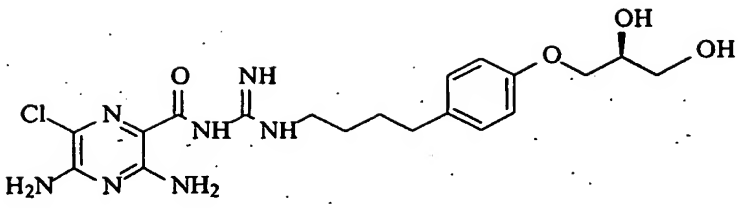
54. The compound of Claim 46, which is represented by the formula:



55. The compound of Claim 46, which is represented by the formula:



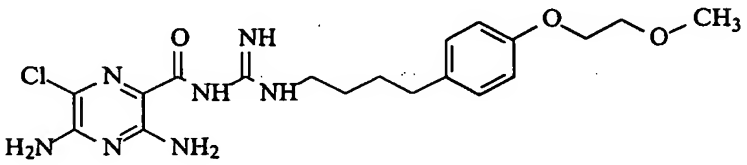
56. The compound of Claim 46, which is represented by the formula:



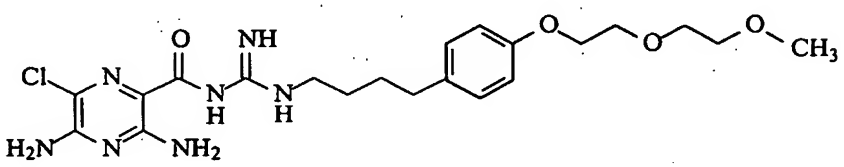
57. The compound of Claim 13, wherein R^5 is $-(CH_2CH_2O)_m-R^8$.

58. The compound of Claim 13, wherein R^5 is $-O-(CH_2CH_2O)_m-R^8$.

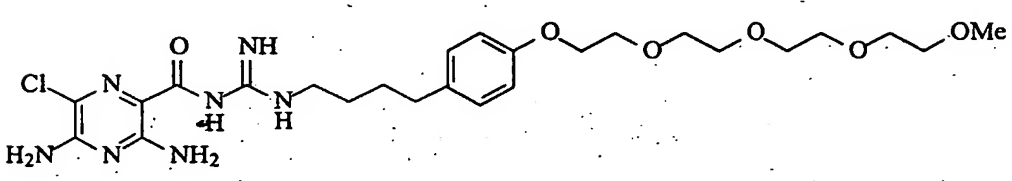
59. The compound of Claim 58, which is represented by the formula:



60. The compound of Claim 58, which is represented by the formula:



61. The compound of Claim 58, which is represented by the formula:



62. The compound of Claim 13, wherein R^5 is $-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$.

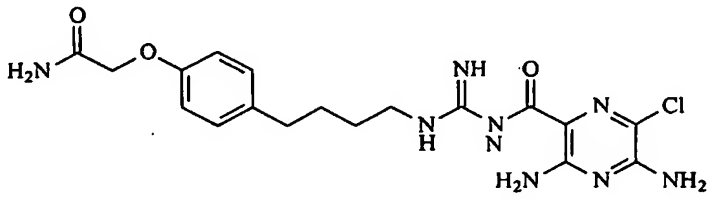
63. The compound of Claim 13, wherein R^5 is $-O-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$.

64. The compound of Claim 13, wherein R^5 is $-(CH_2)_n-C(=O)NR^7R^{10}$.

65. The compound of Claim 64, wherein R^5 is para-$C(=O)NH_2$.

66. The compound of Claim 13, wherein R^5 is $-O-(CH_2)_m-C(=O)NR^7R^{10}$.

67. The compound of Claim 66, which is represented by the formula:



68. The compound of Claim 67, which is the methane sulfonic acid salt.

69. The compound of Claim 66, wherein R^5 is para-$O-CH_2-(C=O)NHCH_2CHOH$.

70. The compound of Claim 66, wherein R^5 is para–$O-CH_2-(C=O)NHCH_2CHOHCH_2OH$.

71. The compound of Claim 66, wherein R^5 is para–$O-CH_2(C=O)NHCH_2(CHOH)_2CH_2OH$.

72. The compound of Claim 66, wherein R^5 is para–$O-CH_2C(C=O)NHSO_2CH_3$.

73. The compound of Claim 66, wherein R^5 is para–$O-CH_2(C=O)NHCO_2CH_3$.

74. The compound of Claim 66, wherein R^5 is para–$O-CH_2-C(C=O)NH-C(C=O)NH_2$.

75. The compound of Claim 66, wherein R^5 is $-O-CH_2-(C=O)NH-(C=O)CH_3$.

76. The compound of Claim 13, wherein R^5 is $-(CH_2)_n-(Z)_g-R^7$.

77. The compound of Claim 76, wherein R^5 is $(CH_2)_n-(C=N)-NH_2$.

78. The compound of Claim 77, wherein R^5 is para-$(C=NH)NH_2$.

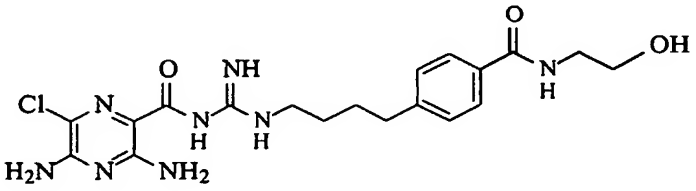
79. The compound of Claim 76, wherein R^5 is $(CH_2)_n$-NH-C(=NH)-NH_2.

80. The compound of Claim 79, wherein R^5 is para-$(CH_2)_3$-NH-C(=NH)-NH_2.

81. The compound of Claim 79, wherein R^5 is para-CH_2NH-C(=NH)-NH_2.

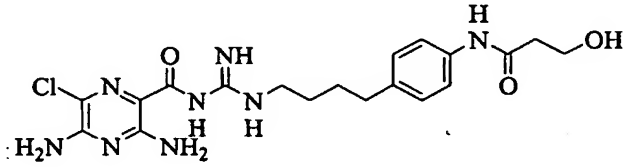
82. The compound of Claim 76, wherein R^5 is $(CH_2)_n$-CONHCH$_2$(CHOH)$_n$-CH_2OH.

83. The compound of Claim 82, which is represented by the formula:



84. The compound of Claim 76, wherein R^5 is NH-C(=O)-CH_2-(CHOH)$_n$$CH_2OH$.

85. The compound of Claim 84, which is represented by the formula:



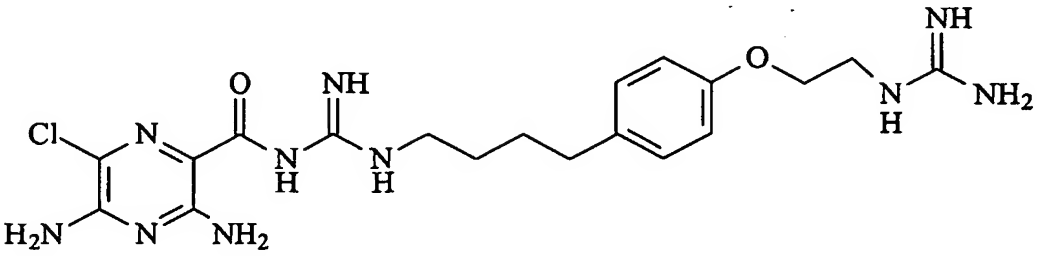
86. The compound of Claim 76, wherein R^5 is -NH_2(C=O)-NH-CH_2(CHOH)$_n$CHOH.

87. The compound of Claim 86, wherein R^5 is para-NHC(C=O)NHCH$_2$$CH_2OH$.

88. The compound of Claim 13, wherein R^5 is -O-$(CH_2)_m$-$(Z)_g$-R^7.

89. The compound of Claim 88, wherein R^5 is -O-$(CH_2)_m$-NH-C(=NH)-N$(R^7)_2$.

90. The compound of Claim 89, which is represented by the formula:



91. The compound of Claim 89, wherein R^5 is para-$O(CH_2)_3$-NH-C(=NH)-NH_2.

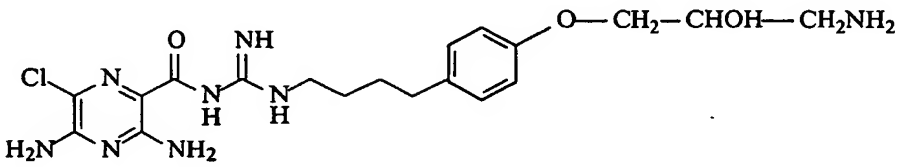
92. The compound of Claim 88, wherein R^5 is -O-$(CH_2)_m$-$CHNH_2$-$CONR^7R^{10}$.

93. The compound of Claim 92, wherein R^5 is para-OCH_2-$CHNH_2$-$CONH_2$.

94. The compound of Claim 93, which is the (R) enantiomer.

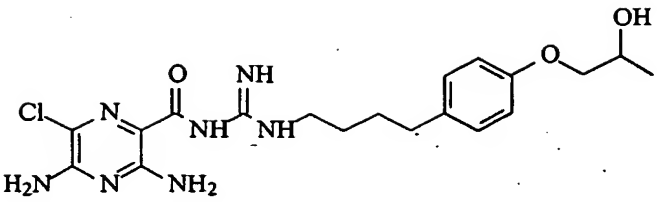
95. The compound of Claim 93, which is the (S) enantiomer.

96. The compound of Claim 88, which is represented by the formula:



97. The compound of Claim 88, wherein R^5 is para-OCH_2CHOH-$CH_2NHCO_2(CH_3)_3$.

98. The compound of Claim 88, which is represented by the formula:

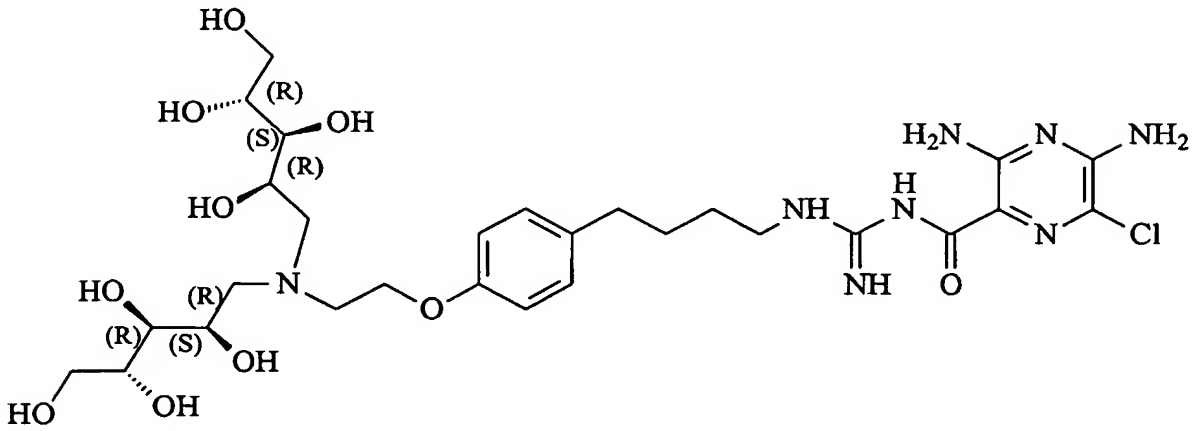


99. The compound of Claim 13, wherein R^5 is $-(CH_2)_n-NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$.

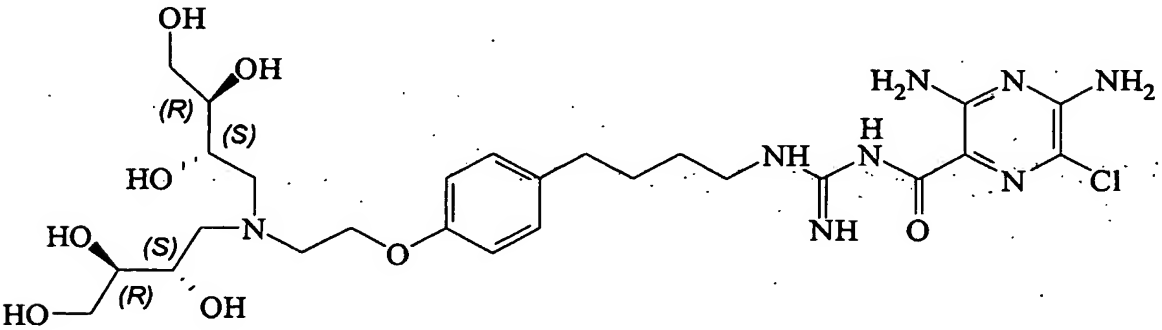
100. The compound of Claim 99, wherein R^5 is para-$NHCH_2(CHOH)_2CH_2OH$.

101. The compound of Claim 13, wherein R^5 is $-O-(CH_2)_m-NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$.

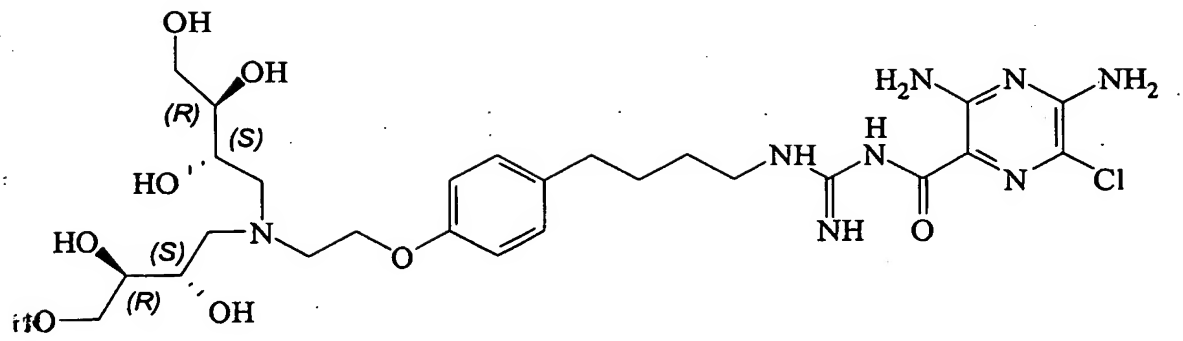
102. The compound of Claim 101, which is represented by the formula:



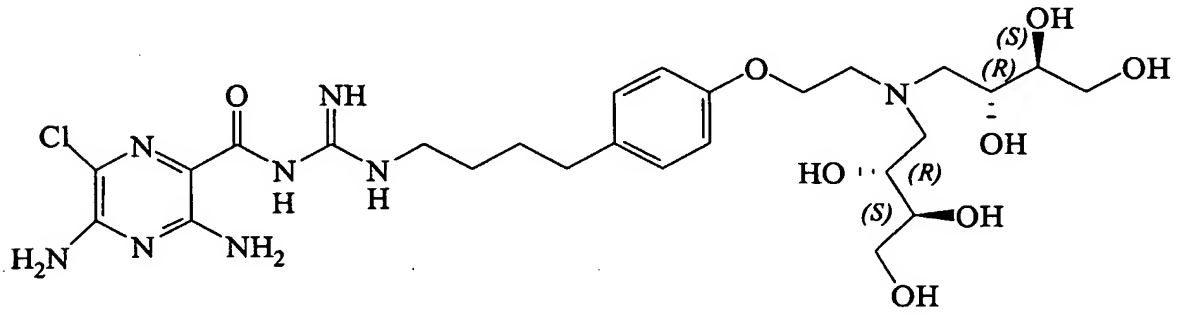
103. The compound of Claim 101, which is represented by the formula:



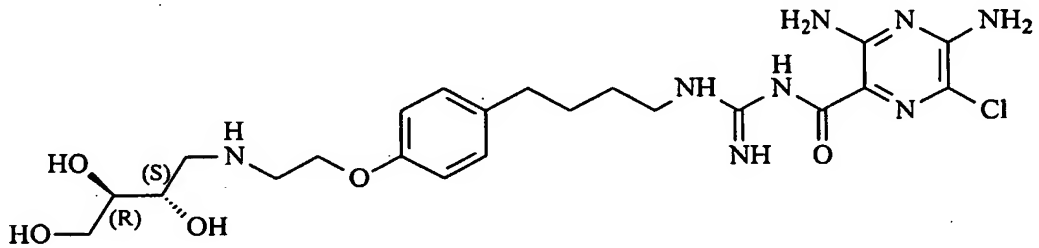
104. The compound of Claim 101, which is represented by the formula:



105. The compound of Claim 101, which is represented by the formula:



106. The compound of Claim 101, which is represented by the formula:



107. The compound of Claim 13, wherein R^5 is -O-$(CH_2)_m$-CO_2R^7.

108. The compound of Claim 107, wherein R^5 is para-$OCH_2CO_2(CH_3)_3$.

109. The compound of Claim 107, wherein R^5 is para-OCH_2CO_2H.

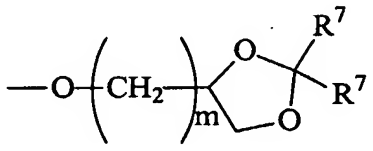
110. The compound of Claim 107, wherein R^5 is para-$OCH_2CO_2C_2H_5$.

111. The compound of Claim 13, wherein R^5 is $-OSO_3H$.

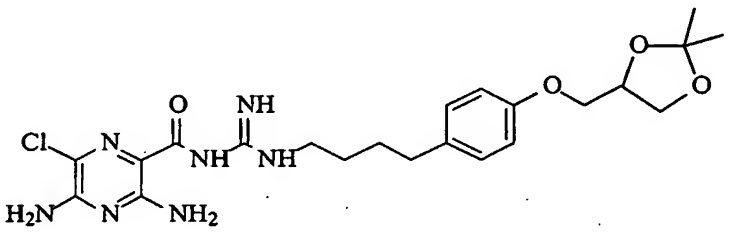
112. The compound of Claim 13, wherein R^5 is -O-glucuronide.

113. The compound of Claim 13, wherein R^5 is –O-glucose.

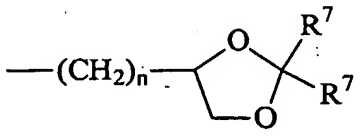
114. The compound of Claim 13, wherein R^5 is



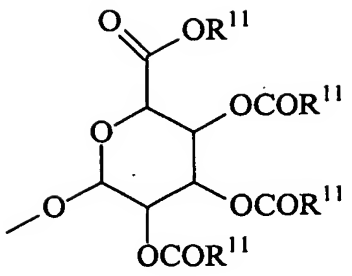
115. The compound of Claim 114, which is represented by the formula:



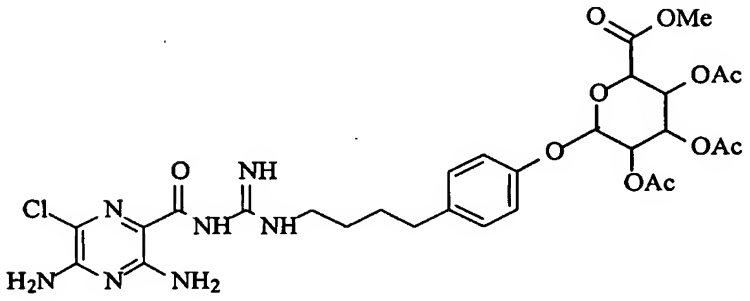
116. The compound of Claim 13, wherein R^5 is



117. The compound of Claim 13, wherein R^5 is



118. The compound of Claim 61, which is represented by the formula:



119. The compound of Claim 1, wherein

X is halogen;

Y is $-N(R^7)_2$;

R^1 is hydrogen or C_1-C_3 alkyl;

R^2 is $-R^7$, $-(CH_2)_m-OR^8$, or $-(CH2)_n-CO_2R^7$;

R^3 is a group represented by formula (A); and

R^4 is hydrogen, a group represented by formula (A), or lower alkyl.

120. The compound of Claim 63, wherein

X is chloro or bromo;

Y is $-N(R^7)_2$;

R^2 is hydrogen or C_1-C_3 alkyl;

at most three R^6 are other than hydrogen as defined above;

at most three R^L are other than hydrogen as defined above; and

at most 2 Q are nitrogen atoms.

121. The compound of Claim 64, wherein Y is $-NH_2$.

122. The compound of Claim 65, wherein R^4 is hydrogen;
at most one R^L is other than hydrogen as defined above;
at most two R^6 are other than hydrogen as defined above; and
at most 1 Q is a nitrogen atom.

123. The compound of Claim 1, wherein R^5 is $-(CH_2)_m-OR^8$.

124. The compound of Claim 1, wherein R^5 is $-O-(CH_2)_m-OR^8$.

125. The compound of Claim 1, wherein R^5 is $-(CH_2)_n-NR^7R^{10}$.

126. The compound of Claim 1, wherein R^5 is $-O-(CH_2)_m-NR^7R^{10}$.

127. The compound of Claim 1, wherein R^5 is $-(CH_2)_n(CHOR^8)(CHOR^8)_n-CH_2OR^8$.

128. The compound of Claim 1, wherein R^5 is $-O-(CH_2)_m(CHOR^8)(CHOR^8)_n-CH_2OR^8$.

129. The compound of Claim 1, wherein R^5 is $-(CH_2CH_2O)_m-R^8$.

130. The compound of Claim 1, wherein R^5 is $-O-(CH_2CH_2O)_m-R^8$.

131. The compound of Claim 1, wherein R^5 is $-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$.

132. The compound of Claim 1, wherein R^5 is $-O-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$.

133. The compound of Claim 1, wherein R^5 is $-(CH_2)_n-C(=O)NR^7R^{10}$.

134. The compound of Claim 1, wherein R^5 is $-O-(CH_2)_m-C(=O)NR^7R^{10}$.

135. The compound of Claim 1, wherein R^5 is $-(CH_2)_n-(Z)_g-R.^7$

136. The compound of Claim 1, wherein R^5 is $-O-(CH_2)_m-(Z)_g-R^7$.

137. The compound of Claim 1, wherein R^5 is $-(CH_2)_n-NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$.

138. The compound of Claim 1, wherein R^5 is $-O-(CH_2)_m-NR^{10}-CH_2(CHOR^8)CHOR^8)_n-CH_2OR^8$.

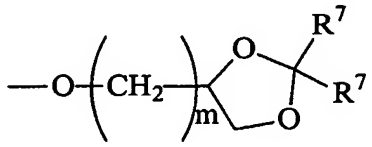
139. The compound of Claim 1, wherein R^5 is $-O-(CH_2)_m-CO_2R^7$.

140. The compound of Claim 1, wherein R^5 is $-OSO_3H$.

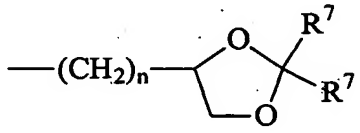
141. The compound of Claim 1, wherein R^5 is -O-glucuronide.

142. The compound of Claim 1, wherein R^5 is -O-glucose.

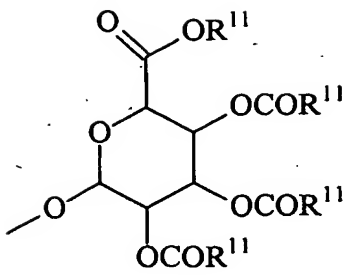
143. The compound of Claim 1, wherein R^5 is



144. The compound of Claim 1, wherein R^5 is



145. The compound of Claim 1, wherein R^5 is



146. The compound of Claim 1, wherein R^5 is -O-$(CH_2)_m$-Boc.

147. The compound of Claim 1, wherein R^5 is -$(CH_2)_m$-Boc.

148. The compound of Claim 1, wherein R^5 is -O-$(CH_2)_m$-NH-C(=NH)-$N(R^7)_2$.

149. The compound of Claim 1, wherein R^5 is -$(CH_2)_n$-NH-C(=NH)-$N(R^7)_2$.

150. The compound of Claim 1, wherein R^5 is -$(CH_2)_m$-NH-C(=O)-OR^7.

151. The compound of Claim 1, wherein R^5 is -O-$(CH_2)_m$-NH-C(=O)-OR^7.

152. The compound of Claim 1, wherein R^5 is -$(CH_2)_n$-NH-C(=O)-R^{11}.

153. The compound of Claim 1, wherein R^5 is -O-$(CH_2)_m$-NH-C(=O)-R^{11}.

154. The compound of Claim 1, wherein R^5 is -O-$(CH_2)_m$-C(=O)$N(R^7)_2$.

155. The compound of Claim 1, wherein R^5 is -$(CH_2)_m$-CHOH-CH_2-NHBoc.

156. The compound of Claim 1, wherein R^5 is -O-$(CH_2)_m$-CHOH-CH_2-NHBoc.

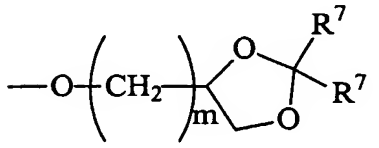
157. The compound of Claim 1, wherein R^5 is -$(CH_2)_m$-NHC(O)OR^7.

158. The compound of Claim 1, wherein R^5 is -O-$(CH_2)_m$-NHC(O)OR^7.

159. The compound of Claim 1, wherein R^5 is $-O-(CH_2)_m-C(=NH)-N(R^7)_2$.

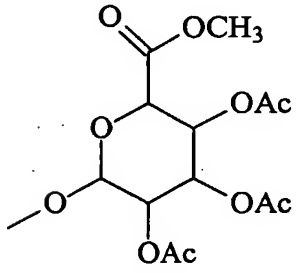
160. The compound of Claim 1, wherein 42 is $-(CH_2)_n-C(=NH)-N(R^7)_2$.

161. The compound of Claim 1, wherein R^5 is selected from the group consisting of -O_3-OH, $-NH_2$, $-O-CH_2-(CHOH)_2-CH_2OH$ $-O-CH_2-CHOH-CH_2OH$, $-O-CH_2CH_2-O-$tetrahydropyran-2-yl,$-O-CH_2CHOH-CH_2-O$-glucuronide, $-O-CH_2CH_2OH$, $-O-(CH_2CH_2O)_4-O-CH_2CH_2OCH_3$, $-O-CH_2-(CHOC(=O)CH_3)-CH_2-OC(=O)CH_3$, $-O-(CH_2CH_2O)_2-CH_3$, $CHOH-CHOH-CH_2OH$, $-CH_2OH$, $-CO_2CH_3$,

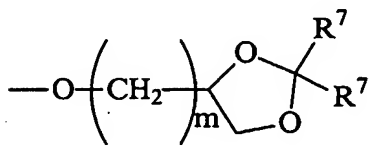


,

and

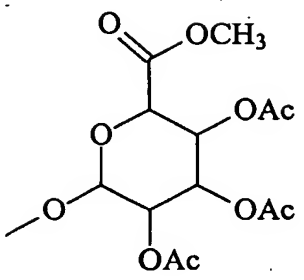


162. The compound of Claim 1, wherein R^5 is selected from the group consisting of para $-O-(CH_2)_3-OH$, para $-NH_2$, para $-O-CH_2-(CHOH)_2-CH_2OH$, ortho $-O-CH_2-CHOH-CH_2OH$, meta $-O-CH_2-CHOH-CH_2OH$, para $-O-CH_2CH_2-O$-tetrahydropyran-2-yl, para $-O-CH_2CHOH-CH_2-O$-glucuronide, para $-O-CH_2CH_2OH$, para $-O-(CH_2CH_2O)_4-CH_3$, para $-O-CH_2CH_2OCH_3$, para $-O-CH_2-(CHOC(=O)CH_3)-CH_2-OC(=O)CH_3$, para $-O-(CH_2CH_2O)_2-CH_3$, $-OCH_2-CHOH-CHOH-CH_2OH$, para $-CH_2OH$, para $-CO_2CH_3$, para $-SO_3H$, para -O-glucuronide, para



and

para



163. The compound of Claim 1, wherein R^5 is

-O-$CH_2CHOHCH_2O$-glucuronide,

-OCH_2CO_2H,

-$NHCH_2(CHOH)_2$-CH_2OH,

-OCH_2CO_2Et,

-$NHSO_2CH_3$,

-O-$CH_2C(=O)NH_2$,

-CH_2NH_2,

-$NHCO_2Et$,

-$OCH_2CH_2CH_2CH_2OH$,

-$CH_2NHSO_2CH_3$,

-$OCH_2CH_2CHOHCH_2OH$,

-$OCH_2CH_2NHCO_2Et$,

-NH-$C(=NH_2)$-NH_2OHOH,

–CH_2CH-CH-CH_2OH,

-CH_2-CHOH-CH_2-NHBoc,

-O-CH_2-CHOH-CH_2-NHBoc,

-$OCH_2CH_2CH_2NH_2$,

-$OCH_2CH_2NHCH_2(CHOH)_2CH_2OH$,

-$OCH_2CH_2NH(CH_2[(CHOH)_2CH_2OH)]_2$,

-$(CH_2)_4$-NHBoc,

-$(CH_2)_4$-NH_2,

-$(CH_2)_4$-OH,

$-OCH_2CH_2NHSO_2CH_3$,

$-(CH_2)_3$-NH Boc,

$-(CH_2)_3NH_2$, or

$-O-CH_2-CHOH-CH_2-NH-C(=NH)-N(R^7)_2$.

164. The compound of Claim 1, wherein

X is chloro or bromo;

Y is $-N(R^7)_2$;

R^1 is hydrogen or C_1-C_3 alkyl;

R^2 is hydrogen or C_1-C_3 alkyl;

R^3 is a group represented by formula (A); and

R^4 is hydrogen, a group represented by formula (A), or lower alkyl;

at most three R^6 are other than hydrogen as defined above;

at most three R^L are other than hydrogen as defined above; and

at most 2 Q are nitrogen atoms.

165. The compound of Claim 108, wherein

R^4 is hydrogen;

at most one R^L is other than hydrogen as defined above;

at most two R^6 are other than hydrogen as defined above; and

at most 1 Q is a nitrogen atom.

166. The compound of Claim 109, wherein

X is chloro or bromo;

Y is $-N(R^7)_2$;

R^1 is hydrogen or C_1-C_3 alkyl;

R^2 is hydrogen or C_1-C_3 alkyl;

R^3 is a group represented by formula (A); and

R^4 is hydrogen, a group represented by formula (A), or lower alkyl;

at most three R^6 are other than hydrogen as defined above;

at most three R^L are other than hydrogen as defined above; and

at most 2 Q are nitrogen atoms.

167. The compound of Claim 110, wherein

R^4 is hydrogen;

at most one R^L is other than hydrogen as defined above;

at most two R^6 are other than hydrogen as defined above; and

at most 1 Q is a nitrogen atom.

168. The compound of Claim 1, wherein x is a single bond.

169. The compound of Claim 1, which is in the form of a pharmaceutically acceptable salt.

170. A composition, comprising:

the compound of Claim 1; and

a P2Y2 inhibitor.

171. A composition, comprising:

the compound of Claim 1; and

a bronchodilator.

172. A pharmaceutical composition, comprising the compound of Claim 1 and a pharmaceutically acceptable carrier.

173. A method of promoting hydration of mucosal surfaces, comprising:

administering an effective amount of the compound of Claim 1 to a mucosal surface of a subject.

174. A method of restoring mucosal defense, comprising:

topically administering an effective amount of the compound of Claim 1 to a mucosal surface of a subject in need thereof.

175. A method of blocking sodium channels, comprising:

contacting sodium channels with an effective amount of the compound of Claim 1.

176. A method of treating chronic bronchitis, comprising:

administering an effective amount of the compound of Claim 1 to a subject in need thereof.

177. A method of treating cystic fibrosis, comprising:

administering an effective amount of the compound of Claim 1 to a subject in need thereof.

178. A method of treating sinusitis, comprising:

administering an effective amount of the compound of Claim 1 to a subject in need thereof.

179. A method of treating vaginal dryness, comprising:

administering an effective amount of the compound of Claim 1 to the vaginal tract of a subject in need thereof.

180. A method of treating dry eye, comprising:

administering an effective amount of the compound of Claim 1 to the eye of a subject in need thereof.

181. A method of promoting ocular hydration, comprising:

administering an effective amount of the compound of Claim 1 to the eye of a subject.

182. A method of promoting corneal hydration, comprising:

administering an effective amount of the compound of Claim 1 to the eye of a subject.

183. A method of promoting mucus clearance in mucosal surfaces, comprising:

administering an effective amount of the compound of Claim 1 to a mucosal surface of a subject.

184. A method of treating Sjogren's disease, comprising:

administering an effective amount of the compound of Claim 1 to a subject in need thereof.

185. A method of treating distal intestinal obstruction syndrome, comprising:

administering an effective amount of the compound of Claim 1 to a subject in need thereof.

186. A method of treating dry skin, comprising:

administering an effective amount of the compound of Claim 1 to the skin of a subject in need thereof.

187. A method of treating esophagitis, comprising:

administering an effective amount of the compound of Claim 1 to a subject in need thereof.

188. A method of treating dry mouth (xerostomia), comprising:

administering an effective amount of the compound of Claim 1 to the mouth of a subject in need thereof.

189. A method of treating nasal dehydration, comprising:

administering an effective amount of the compound of Claim 1 to the nasal passages of a subject in need thereof.

190. The method of Claim 132, wherein the nasal dehydration is brought on by administering dry oxygen to the subject.

191. A method of preventing ventilator-induced pneumonia , comprising:

administering an effective amount of the compound of Claim 1 to a subject on a ventilator.

192. A method of treating asthma, comprising:

administering an effective amount of the compound of Claim 1 to a subject in need thereof.

193. A method of treating primary ciliary dyskinesia, comprising:

administering an effective amount of the compound of Claim 1 to a subject in need

194. A method of treating otitis media, comprising:

administering an effective amount of the compound of Claim 1 to a subject in need

195. A method of inducing sputum for diagnostic purposes, comprising:

administering an effective amount of the compound of Claim 1 to a subject in need thereof.

196. A method of treating chronic obstructive pulmonary disease, comprising:

administering an effective amount of the compound of Claim 1 to a subject in need thereof.

197. A method of treating emphysema, comprising:

administering an effective amount of the compound of Claim 1 to a subject in need thereof.

198. A method of treating pneumonia, comprising:

administering an effective amount of the compound of Claim 1 to a subject in need thereof.

199. A method of treating constipation, comprising:

administering an effective amount of the compound of Claim 1 to a subject in need thereof.

200. The method of Claim 143, wherein the compound is administered orally or via a suppository or enema.

201. A method of treating chronic diverticulitis, comprising:

administering an effective amount of the compound of Claim 1 to a subject in need thereof.

202. A method of treating rhinosinusitis, comprising:

administering an effective amount of the compound of Claim 1 to a subject in need thereof.

203. A method of treating hypertension, comprising administering the compound of Claim 1 to a subject in need thereof.

204. A method of reducing blood pressure, comprising administering the compound of Claim 1 to a subject in need thereof.

205. A method of treating edema, comprising administering the compound of Claim 1 to a subject in need thereof.

206. A method of promoting diuresis, comprising administering the compound of Claim 1 to a subject in need thereof.

207. A method of promoting natriuresis, comprising administering the compound of Claim 1 to a subject in need thereof.

208. A method of promoting saluresis, comprising administering the compound of Claim 1 to a subject in need thereof.